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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: INDANE COMPOUNDS AS CCR5 ANTAGONISTS

(57) Abstract: The present invention relates to compounds of formula (I), or pharmaceutically acceptable derivatives thereof, useful in the treatment of CCR5-related diseases and disorders, for example, useful in the inhibition of HIV replication, the prevention or treatment of an HIV infection, and in the treatment of the resulting acquired immune deficiency syndrome (AIDS).

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 03/39975

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D451/04 C07D211/58 C07D471/10 C07D413/04 A61K31/439
A61P31/18

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 6 096 780 A (SHIRAISHI MITSURU ET AL) 1 August 2000 (2000-08-01) claim 1	1-39
E	WO 2004/009584 A (AHN YOUNG GIL ; HAM YOUNG JIN (KR); KIM HAN KYONG (KR); KIM HEE SEOCK) 29 January 2004 (2004-01-29) claim 1; examples 1-8	1

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

*** Special categories of cited documents :**

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the International filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the International filing date but later than the priority date claimed

- *T* later document published after the International filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- * & * document member of the same patent family

Date of the actual completion of the International search

30 March 2004

Date of mailing of the International search report

15/04/2004

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FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

The present claims relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to carbocyclic, bicyclic compounds. The following limitations were made in the search: All Z = carbon, B is a 4-7 membered saturated carbocyclic ring and R10 = R7 (two R10 cannot form a ring). All compounds of claim 22 are encompassed by the scope of the search.

The applicant's attention is drawn to the fact that claims relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure. If the application proceeds into the regional phase before the EPO, the applicant is reminded that a search may be carried out during examination before the EPO (see EPO Guideline C-VI, 8.5), should the problems which led to the Article 17(2) declaration be overcome.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 03/39975

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☒ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 03/39975

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
US 6096780	A	01-08-2000	AU 748064 B2	30-05-2002
			AU 5301599 A	14-03-2000
			BR 9813691 A	10-10-2000
			CA 2304959 A1	01-07-1999
			CA 2337307 A1	02-03-2000
			CN 1282243 T	31-01-2001
			EP 1039899 A2	04-10-2000
			EP 1104416 A2	06-06-2001
			HU 0100199 A2	28-04-2001
			ID 29994 A	25-10-2001
			WO 9932100 A2	01-07-1999
			WO 0010965 A2	02-03-2000
			JP 2000128842 A	09-05-2000
			JP 2000128782 A	09-05-2000
			US 6172061 B1	09-01-2001
			US 6376536 B1	23-04-2002
			US 6268354 B1	31-07-2001
WO 2004009584	A	29-01-2004	WO 2004009584 A1	29-01-2004